(12) UK Patent Application (19) GB (11) 2 144 326 A

(43) Application published 6 Mar 1985

(21) Application No 8414688

(22) Date of filing 8 Jun 1984

(30) Priority data

(31) 68965 (32) 13 Jun 1983 (33) IL

(51) INT CL⁴
A61K 31/60

(52) Domestic classification
A5B 170 26Y 402 40Y 481 483 48Y 586 58Y H
U1S 2416 A5B

(56) Documents cited
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(58) Field of search
A5B

(71) Applicant
RAFA Laboratories Ltd., (Israel),
P.O. Box 405, Jerusalem 91003, Israel

(72) Inventor
Daniel Bar-Shalom

(74) Agent and/or address for service
Elkington and Fife, High Holborn House, 52/54 High Holborn, London, WC1V 6SH

(54) Topical preparations containing acetyl-salicylic acid

(57) An anhydrous pharmaceutical preparation, e.g. an ointment, or lotion comprising as active ingredient at least 7% by weight, preferably 8—13%, of acetyl salicylic acid together with a suitable base is useful for the treatment of dermatological disorders, e.g. psoriasis, acne, idiophatic vitiligio, seborrheic dermatitis and bullous pemphigoid.

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SPECIFICATION

A Pharmaceutical Preparation Containing Acetylsalicylic Acid

The present invention relates to a pharmaceutical preparation for topical administration in the treatment of various dermatological disorders, e.g. psoriasis, acne, seborrheic dermatitis, idiopathic vitiligo and bullous pemphigoid, comprising as active ingredient acetyl salicylic acid.

Acetyl salicylic acid is a well known therapeutically effective compound. It is known as a very effective analgetic, antipyretic and anti-inflammatory agent. This compound has so far been administered per os, i.e. in the form of a tablet, capsule, etc. or in the form of an injection.

Many experiments have been made to administer acetyl salicylic acid not in the form of tablets but in a more pleasant form. Thus, Israeli Patent Specification No. 44774 claims a pharmaceutical composition which is to be administered per os after it has been dissolved in water. The aqueous solution has to be administered quickly as otherwise the acetyl salicylic acid will be hydrolysed. Moreover, such an aqueous solution certainly cannot be stored for an extended period of time.

From Israeli Patent Specification No. 44485 there is known a stable liquid acetyl salicylic acid composition which is also administered per os. The advantage of this solution is that it yields a more palatable form of administration which is important in pediatric practice. The application of this composition externally has not been considered.

From Psoriasis, Proceedings of the Second International Symposium, 1976, there are known experiments in which compositions were prepared enabling the external use of acetyl salicylic acid in the treatment of certain diseases. The concentration of the acetyl salicylic acid in said composition was 1—2%. (All percentages are given herein in percentages by weight). However, the authors specifically stated that said compositions were not effective against psoriasis.

The inhibitory effect of certain compounds, inter alia, acetyl salicylic acid on the development of erythema in guinea pigs was tested by Erich C. Weirich et al, Dermatology, 152, 87—99 (1976). The concentration of said compounds, e.g. of acetyl salicylic acid in the tested composition was 0.05—5%. A certain external anti-inflammatory effect was observed for acetyl salicylic acid. However, this effect was not sufficient and in particular it did not give any hint that acetyl salicylic acid in a concentration of at least 7% is very effective in the treatment of dermatological disorders, in particular those indicated above.

The present invention thus consists in an anhydrous pharmaceutical preparation for topical administration in the treatment of dermatological disorders comprising as active ingredient at least 7% of acetyl salicylic acid together with a suitable base.

Said preparation may have any suitable form, e.g. an ointment, solution, emulsion, lotion, etc.

The basis of said preparation in connection with the present invention should be anhydrous, physiologically acceptable and compatible with the acetyl salicylic acid. Suitable bases are for example, liquid paraffin, lanolin, white soft paraffin, white bees wax, hard paraffin and certain alcohols, e.g. ethanol, propanol, isopropyl alcohol, glycerol and glycol and mixtures thereof. Said bases are chosen in accordance with the specific requirements of the preparation.

The concentration of the acetyl salicylic acid within the preparation varies to a certain degree. However, it has been found that the preferred concentration is 8—13%.

The present invention consists also in a method for the treatment of dermatological disorders comprising administering a preparation as defined above in pre-determined intervals and pre-determined doses. The doses administered are preferably 7—15 mg/mm of skin and the intervals between each dose is about 24 hours until the lesion disappears.

The method in accordance with the present invention is in particular suitable in the treatment of psoriasis, acne, idiopathic vertiligo, seborrheic dermatitis and bullous pemphigoid.

The present invention will now be illustrated with reference to the accompanying examples without being restricted by them. In all said examples the preparations were prepared by suitable pharmaceutical methods, i.e. by way of admixing the separate ingredients until homogenous preparation was obtained.

EXAMPLE 1

An ointment comprising the following ingredients was prepared:

Acetyl salicylic acid	12.5 g
White bees wax	1.75 g
Hard paraffin	7.0 g
White soft paraffin up to (white petrolatum)	100.0 g

Seven individuals suffering from psoriasitic skin were treated with the above ointment. The ointment was smeared on discrete marked areas twice daily for at least two weeks. In six of the cases complete healing was achieved within 7—11 days, while there was a slight improvement on the remaining one.

EXAMPLE 2

An ointment comprising the following ingredients was prepared:

Acetyl salicylic acid	10.0 g
Lanolin 10% in soft white paraffin up to	100.0 g

The preparation was applied to the psoriatic skin of 15 individuals using different criteria for control (for example: a limited area within a lesion was smeared and compared with the rest, one limb was smeared and compared with the second untreated one, etc.) In 13 cases there was a noticeable improvement, in one very slight and in one no change.

While the average time for complete clearing of the lesion was 8 days, there was a remarkable case of a young girl whose ears were cleared completely within 48 hours.

EXAMPLE 3

A lotion comprising the following ingredients was prepared:

Acetyl salicylic acid	10 g
Propylene Glycol up to	100 g

The lotion was rubbed each day on the scalp of 8 psoriatic individuals. In all cases there was a great improvement; in 6 of them after 2 weeks of treatment the scales disappeared completely.

EXAMPLE 4

An ointment comprising the following ingredients was prepared:

Acetyl salicylic acid	10 g
Lanoline	9 g
Soft white paraffin	81 g

50 patients suffering from psoriatic lesions were treated with said ointment. These patients had plaques of medium size which were distributed on the arms, legs or body (particularly the region of the neck). All the lesions were treated with the ointment for about 2 weeks. Complete healing was observed in 50% of the cases, while those patients with very large lesions reported a great improvement but not complete healing. It was noted that lesions in the area of the head and neck cleared more rapidly than lesions on the arms, whilst lesions on the legs cleared more slowly. Of the 50 treated cases 2 did not respond to treatment. In one case the patient discontinued the therapy after 2 treatments. No explanation was given.

3 of the treated patients were suffering from diabetis who were unable to use corticosteroids. These 3 patients reported marked improvement following application of the ointment for about 2 weeks. The rate of improvement was however slower, as compared with non-diabetic patients.

EXAMPLE 5

A lotion comprising the following ingredients was prepared:

Acetyl salicylic acid	10 g
Ethanol	90 g

80 patients suffering from acne were treated with said lotion. Following several days of treatment no new lesions or pustules appeared and the acne rapidly cleared. All were instructed to discontinue other forms of acne treatment and to use only the lotion once a day and clearing was observed within 2 days. One female patient aged 28 who had complained of severe outbreaks of acne coinciding with the menses, reported that treatment with the lotion cleared her acne completely within a few days.

EXAMPLE 6

4 cases of previously diagnosed idiopathic vitiligio were treated with the ointment described in Example 4. Complete healing, i.e. repigmentation of the area of the skin was observed in all four cases following treatment extending over a period of 6 to 12 weeks.

EXAMPLE 7

A lotion comprising the following ingredients was prepared:

Acetyl salicylic acid	8 g
Propylene glycol/Isopropyl Alcohol 50:50	92 g

This lotion was tried on patients, in particular young children aged 3 to 5 years suffering from seborrheic dermatitis and was found to be effective.

EXAMPLE 8

A female aged 80 years with an eruption which had been diagnosed as bullous pemphigoid was treated with the ointment described in Example 4 for 3 weeks. The bullae disappeared completely and no recurrence was reported.

EXAMPLE 9

An albino who was unable to tolerate exposure to sunlight was treated with the ointment described in Example 4 which was applied to the face. The application prevented the errythema caused by the exposure to radiant heat.

CLAIMS

1. An ahydrous pharmaceutical preparation for topical administration in the treatment of dermatological disorders comprising as active ingredient at least 7% of acetylic acid together with a suitable base.

2. A preparation according to Claim 1, wherein the concentration of acetyl salicylic acid is 8—13%.

3. A preparation according to Claim 1 or 2 being an ointment.

4. A preparation according to Claim 1 or 2 being a lotion.

5. A preparation according to any of Claims 1 to 4, wherein the base is selected among the group comprising liquid paraffin, lanolin, white soft paraffin, white bees wax, hard paraffin and

certain alcohols, e.g. ethanol, propanol, isopropyl alcohol, glycerol and glycol and mixtures thereof.

6. An anhydrous pharmaceutical preparation for topical administration in the treatment of dermatological disorders substantially as hereinbefore described with reference to the Examples.

Printed in the United Kingdom for Her Majesty's Stationery Office, Demand No. 8818935, 3/1985. Contractor's Code No. 6378.
Published by the Patent Office, 25 Southampton Buildings, London, WC2A 1AY, from which copies may be obtained.